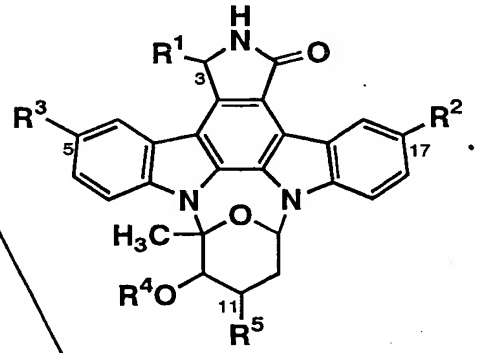
CLAIMS

1. An antitumor agent comprising a staurosporin derivative or a pharmaceutically acceptable salt thereof, as an active ingredient, which is represented by the general formula (I):



(I)

wherein

R^1 represents hydrogen, hydroxy, or lower alkoxy;

R^2 represents hydrogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkadienyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, halogen, nitro, formyl, COR^6 <wherein R^6 represents substituted or unsubstituted lower alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, NR^7R^8 {wherein R^7 and R^8 are the same or different and represent hydrogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted

heterocyclic group, or are combined with their adjacent N to form a substituted or unsubstituted heterocyclic group (the heterocyclic group formed by R^7 and R^8 together with their adjacent N may contain an oxygen atom, a sulfur atom, or another nitrogen atom)}, OR^9 (wherein R^9 represents hydrogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, cycloalkyl, or substituted or unsubstituted aryl), or SR^{10} (wherein R^{10} represents substituted or unsubstituted lower alkyl, or substituted or unsubstituted aryl)>, $NR^{11}R^{12}$ <wherein R^{11} and R^{12} are the same or different and represent hydrogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, cycloalkyl, COR^{13} {wherein R^{13} represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, lower alkoxycarbonyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, OR^{9A} (wherein R^{9A} has the same meaning as defined for R^9 above), $NR^{7A}R^{8A}$ (wherein R^{7A} and R^{8A} have the same meanings as defined for R^7 and R^8 above, respectively)}, CSR^{13A} (wherein R^{13A} has the same meaning as defined for R^{13} above), SO_2R^{13B} (wherein R^{13B} has the same meaning as defined for R^{13} above), or a residue of an amino acid, excluding a hydroxyl group in a carboxylic group of the amino acid (a functional group in the amino acid may be protected with a protective group)>, or OR^{14} {wherein R^{14} represents hydrogen, substituted or unsubstituted lower alkyl, substituted or

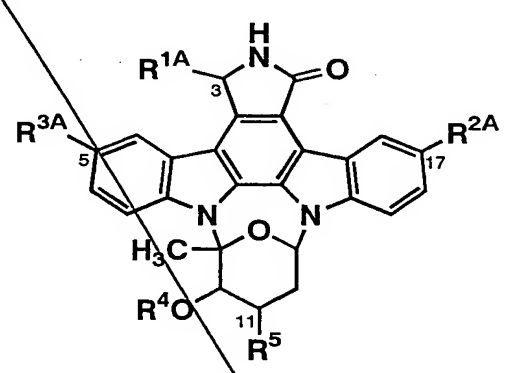
unsubstituted lower alkenyl, cycloalkyl, substituted or unsubstituted lower alkanoyl, substituted or unsubstituted aroyl, or $CONR^{7B}R^{8B}$ (wherein R^{7B} and R^{8B} have the same meanings as defined for R^7 and R^8 above, respectively)};

R^4 represents hydrogen, or substituted or unsubstituted lower alkyl;

R^5 represents $NR^{11A}R^{12A}$ (wherein R^{11A} and R^{12A} have the same meanings as defined for R^{11} and R^{12} above, respectively); and

R^3 has the same meaning as defined for R^2, with the proviso that R^2 and R^3 are not simultaneously hydrogen.

2. A staurosporin derivative or a pharmaceutically acceptable salt thereof, which is represented by the general formula (IA):



(IA)

wherein

R^{2A} represents hydrogen, hydroxy, halogen, formyl, nitro, amino, COR^{6A1} (wherein R^{6A1} represents substituted or unsubstituted lower alkyl, hydroxy, or substituted or unsubstituted lower alkoxy), OR^{14A1} (wherein R^{14A1} represents

substituted or unsubstituted lower alkyl), lower alkyl, substituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkadienyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, COR^{6A3} (wherein R^{6A3} has the same meaning as defined for R^{6A2} below), $NR^{11A2}R^{12A2}$ (wherein R^{11A2} and R^{12A2} have the same meaning as defined for R^{11A1} and R^{12A1} below, respectively), or OR^{14A3} (wherein R^{14A3} has the same meaning as defined for R^{14A2} below);

when R^{2A} represents hydrogen, hydroxymethyl, hydroxy, halogen, formyl, nitro, amino, COR^{6A1} (wherein R^{6A1} represents substituted or unsubstituted lower alkyl, hydroxy, or substituted or unsubstituted lower alkoxy), or OR^{14A1} (wherein R^{14A1} represents substituted or unsubstituted lower alkyl),

R^{3A} represents lower alkyl, substituted lower alkyl (the substituted lower alkyl is not hydroxymethyl), substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkadienyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, COR^{6A2} <wherein R^{6A2} represents substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, $NR^{7A1}R^{8A1}$ (wherein R^{7A1} and R^{8A1} have the same meanings as defined for R^{7} and R^{8} above, respectively), OR^{9A1} (wherein R^{9A1} represents substituted or

unsubstituted lower alkenyl, cycloalkyl, or substituted or unsubstituted aryl), or SR^{10A1} (wherein R^{10A1} has the same meaning as defined for R^{10} above)>, $NR^{11A1}R^{12A1}$ (wherein NR^{11A1} and R^{12A1} have the same meanings as defined for R^{11} and R^{12} above, respectively, with the proviso that R^{11A1} and R^{12A1} are not simultaneously hydrogen), or OR^{14A2} {wherein R^{14A2} represents substituted or unsubstituted lower alkenyl, cycloalkyl, substituted or unsubstituted lower alkanoyl, substituted, or unsubstituted aroyl, or $CONR^{7B1}R^{8B1}$ (wherein R^{7B1} and R^{8B1} have the same meanings as defined for R^7 and R^8 above, respectively)};

when R^{2A} represents lower alkyl, substituted lower alkyl (the substituted lower alkyl is not hydroxymethyl), substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkadienyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, COR^{6A3} (wherein R^{6A3} has the same meaning as defined for R^{6A2} above), $NR^{11A2}R^{12A2}$ (wherein R^{11A2} and R^{12A2} have the same meanings as defined for R^{11A1} and R^{12A1} above, respectively), or OR^{14A3} (wherein R^{14A3} has the same meaning as defined for R^{14A2} above),

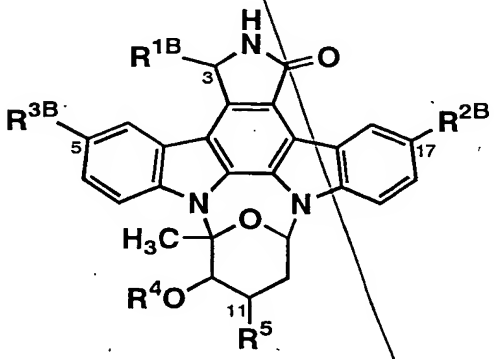
R^{3A} represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkadienyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, halogen, nitro, formyl,

COR^{6A4} [wherein R^{6A4} represents substituted or unsubstituted lower alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, $NR^{7A2}R^{8A2}$ {wherein R^{7A2} and R^{8A2} have the same meanings as defined for R^7 and R^8 above, respectively}, OR^{9A2} (wherein R^{9A2} has the same meaning as defined for R^9 above), or SR^{10A2} (wherein R^{10A2} has the same meaning as defined for R^{10} above)], $NR^{11A3}R^{12A3}$ (wherein R^{11A3} and R^{12A3} have the same meaning as defined for R^{11} and R^{12} above, respectively), or OR^{14A4} (wherein R^{14A4} has the same meaning as defined for R^{14} above);

R^{1A} has the same meaning as defined for R^1 above; and

R^4 and R^5 have the same meanings as defined above, respectively.

3. A staurosporin derivative or a pharmaceutically acceptable salt thereof, which is represented by the general formula (IB):



(IB)

wherein R^{1B}, R^{2B} and R^{3B} represent groups defined for the above R^1, R^2 and R^3, respectively, except when R^1 is hydrogen and R^2

and R^3 are the same or different and represent hydrogen, nitro, amino, carboxy, lower alkoxycarbonyl, hydroxy or hydroxymethyl, and when R^1 is hydrogen and R^2 and R^3 are the same or different and represent hydrogen, halogen, formyl, lower alkanoyl or lower alkoxy; and R^4 and R^5 have the same meanings as defined above, respectively.

Sub B1

4. The staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 2, wherein R^{2A} represents amino, halogen, formyl, or hydroxy, and

R^{3A} represents substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, lower alkyl, substituted lower alkyl (the substituted lower alkyl is not hydroxymethyl), or $NHCOR^{13A1}$ (wherein R^{13A1} has the same meaning as defined for R^{13} above); or

R^{2A} represents substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, lower alkyl, substituted lower alkyl (the substituted lower alkyl is not hydroxymethyl), or $NHCOR^{13A2}$ (wherein R^{13A2} has the same meaning as defined for R^{13} above), and

R^{3A} represents substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, amino, substituted or unsubstituted lower alkyl, or $NHCOR^{13A3}$ (wherein R^{13A3} has the same meaning as defined for R^{13} above).

5. The staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 3, wherein R^{2B} and

R^{3B} are the same or different and represent substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, amino, halogen, formyl, hydroxy, substituted or unsubstituted lower alkyl, or $NHCOR^{13}$ (wherein R^{13} has the same meaning as defined above).

6. The staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 2 or 4, wherein R^{1A} is hydroxy.

7. The staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 3 or 5, wherein R^{1B} is hydroxy.

8. A pharmaceutical composition comprising at least one staurosporin derivative or pharmaceutically acceptable salt thereof according to any one of claims 2 to 7 and a pharmaceutically acceptable carrier.

9. An enhancer for activity of an antitumor agent, comprising the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1, as an active ingredient.

10. The enhancer for activity according to claim 9, enhancing the activity of an antitumor agent by abrogating accumulation action at the G2 or S stage of the cell cycle.

11. An agent for abrogating accumulation action at the G2 or S stage of the cell cycle, comprising the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1, as an active ingredient.

Sub A2

12. An enhancer for activity of an antitumor agent, comprising the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7, as an active ingredient.

13. The enhancer for activity according to claim 12, enhancing the activity of an antitumor agent by abrogating accumulation action at the G2 or S stage of the cell cycle.

14. An agent for abrogating accumulation action at the G2 or S stage of the cell cycle, comprising the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7, as an active ingredient.

Sub A3

15. An antitumor agent comprising at least one staurosporin derivative or pharmaceutically acceptable salt thereof according to any one of claims 2 to 7.

16. A pharmaceutical composition comprising at least one staurosporin derivative or pharmaceutically acceptable salt thereof according to any one of claims 2 to 7.

17. A method for treating a malignant tumor, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1.

Sub B1

18. A method for enhancing the activity of an antitumor agent, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim

Sub B1

1.

19. A method for abrogating accumulation action at the G2 or S stage of the cell cycle, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1.

20. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1 for the manufacture of an antitumor agent.

21. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1 for the manufacture of an enhancer for activity of an antitumor agent.

22. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to claim 1 for the manufacture of an agent for abrogating accumulation action at the G2 or S stage of the cell cycle.

23. A method for treating a malignant tumor, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7.

Sub A4

24. A method for enhancing the activity of an antitumor agent, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one

of claims 2 to 7.

25. A method for abrogating accumulation action at the G2 or S stage of the cell cycle, comprising the step of administering a therapeutically effective amount of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7.

26. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7 for the manufacture of an antitumor agent.

27. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7 for the manufacture of an enhancer for activity of an antitumor agent.

28. Use of the staurosporin derivative or the pharmaceutically acceptable salt thereof according to any one of claims 2 to 7 for production of an agent for abrogating accumulation action at the G2 or S stage of the cell cycle.